S Y N O P S I S

The work embodied in this dissertation is related to the investigation of some 2-alkylamido -6- nitro - 4H - 1,3,2 - benzodioxaphosphorin - 2 - sulphides with reference to their chemical, insecticidal, fungicidal, biochemical, phytotoxic and other toxicological properties besides structural elucidations by chemical analyses and spectroscopic methods.

PART - I:

In Part - I of this thesis, a general introduction of Organophosphorus pesticides including fungicidal activities, anticholinesterase and hydrolytic properties have been presented.

PART - II:

Part - II of this dissertation has been devoted to a short review describing chemical, fungicidal, insecticidal, biochemical, toxicological, hydrolytic, anticholinesterase and other properties of saligenin cyclic phosphorus compounds with special emphasis on salithion (2-methoxy-4H-1,3,2 - benzodioxaphosphorin - 2 - sulphide). It has been revealed that the biological activities of these compounds are greatly influenced by the exocyclic substituents on the phosphorus atom, and also by the substituents in benzene ring or in hetero-cyclic ring.

PART - III:

Part - III deals with the work related to the synthesis and structure determination of some 2-alkylamido-6-nitro-4H-1,3,2-benzodioxaphosphorin-2-sulphides. These compounds have been synthesized by the reaction of the corresponding phosphoramidothioic dichlorides with 5-nitro-saligenin.

The structure of the compounds have been determined by chemical analysis and IR, mass and PMR Spectra.

The common IR bands are:

1010 - 1030 cm^{-1}(s), P-O-C (alkyl); 1235-1250 cm^{-1} (vs), P-O-C (aryl); 880-920 cm^{-1}(s), P-O-C (aryl); 1515-1520 cm^{-1}(s), asym. str. of nitro group; 1340-1345 cm^{-1} (s), sym. str. of nitro group; 800-820 cm^{-1}, P = S (I); 640-660 cm^{-1}, P=S (II).

The compounds show parent molecular ion (M^+) peaks in the mass spectra. Fragmetation by loss of 'SH' radical is important; all compounds show an ion due to $(M - SH)^+$, and it is the base peak for all of the four alkylamidophosphorothionates. Finally the structure of the compounds has been settled by taking PMR spectra; for all compounds the endo - cyclic $-CH_2-$ group gives eight lines in PMR spectra.

The dimethylamido and the morpholino compounds have some insecticidal activity; but their activities are less than that of salithion. The other compounds are non-insecticidal. All compounds are less toxic to rats than salithion.

It has been observed that for any compounds (BD.10 to BD.14), the housefly-head acetylcholinesterase (HFAChE) is more inhibited than the blood - cholinesterase (blood-ChE).

From the chemical hydrolysis studies it has been observed that the compounds containing the di-substituted amido groups are extremely resistant to hydrolysis compared to other compounds having the mono-substituted amido groups. It has also been observed that the rate of alkaline hydrolysis is increased as the p^H value increases from 7.7 to 11.8.

Wheat seed germination studies indicate that none of the compounds are phytotoxic properties upto 600 ppm concentration. Treatments of rice seeds with pyrrolidino and nonylamido compounds have no effect on germination, but root and shoot growth have been reduced drastically; treatments of rice plants with these two compounds have reduced the shoot growth.

From the fungicidal activity studies (by growth inhibition) against P. oryzae, V. albo-atrum, A. solani and H. oryzae indicate that some of the compounds show good inhibitory effect on the growth

of different fungi, however, compared to Hinosan they have less inhibitory effect. Among the nine compounds, the dimethylamido compound is most active against P. oryzae, the isopropylamido compound is against H. oryzae, and the cyclohexylamido compound is against V. albo-atrum & A. solani.

From the spore germination inhibition studies against A.niger, P. sensani, V. albo-atrum and H. oryzae, it has been observed that all compounds are effective. The nonylamido compound is most active for A. niger, and the dimethylamido compound is against P. sensani & V. albo-atrum; their activities are greater than that of Hinosan. The isopropylamido compound is most effective against H. oryzae; but, its activity is less than that of Hinosan.

Protectant activity studies (in vivo) against H. oryzae on detached rice leaves and rice plants by using only two compounds (BD-15 and BD-17) indicate that the activity of nonylamido compound (BD-17) is greater than that of the pyrrolidino compound (BD-15). Protectant activity studies for other compounds have not yet been performed.

The antifungal activity data justify further examination of these phosphoramidothionates as potential fungicides with special reference to the selectivity of their action. Whether the use of these compounds will protect the plants from diseases in the field

remains to be studied.

In order to find out the chemical structure - biological activity relationship in these nitro - saligenin cyclic phosphoramidothionates, we have to synthesize several new compounds in which the nitro group is to be incorporated in different position of the aromatic ring, and to investigate their biological activities phytotoxic properties, fungicidal activities, anti - ChE enzyme activities, and other toxicological properties including delayed neurotoxicity in hens.